

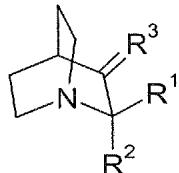
Appl. No. 10/590,054/  
Amendment dated October 28, 2008  
Reply to Office Action dated June 23, 2008

Amendments to the Claims:

This listing of the claims will replace all prior versions, and listings, of claims in the application:

Listing of Claims:

1. (Currently Amended) A method of using a compound of formula (I)



(I)

wherein

(i) R<sup>1</sup> and R<sup>2</sup> are the same or different and are selected from H, -CH<sub>2</sub>-O-R<sup>5</sup>, -CH<sub>2</sub>-O-SO<sub>2</sub>-R<sup>5</sup>, -CH<sub>2</sub>-S-R<sup>5</sup>, -CH<sub>2</sub>-NR<sup>4</sup>R<sup>5</sup>, -CH<sub>2</sub>-O-CO-R<sup>5</sup>, -CH<sub>2</sub>-O-CO-NR<sup>4</sup>R<sup>5</sup> and -CH<sub>2</sub>-O-CO-OR<sup>5</sup>;

R<sup>3</sup> is =O, =S or =NR<sup>5</sup>;

R<sup>4</sup> and R<sup>5</sup> are the same or different and are selected from H; substituted or non-substituted, unbranched or branched, saturated or unsaturated C3-C12 cycloalkyl or C1-C10 alkyl; substituted or non-substituted benzyl; substituted or non-substituted mono- or bicyclic aryl;

substituted or non-substituted mono-, bi- or tricyclic C1-C10 heteroaryl or non-aromatic C1-C10 heterocyclyl wherein the heteroatoms are independently selected from N, O and S; or R<sup>4</sup> and R<sup>5</sup> in -CH<sub>2</sub>-NR<sup>4</sup>R<sup>5</sup> are bonded together and form, together with the nitrogen atom to which they are bonded, a substituted or non-substituted non-aromatic C1-C10 mono- or bicyclic heterocyclyl optionally containing one or several further heteroatoms independently selected from N, O and S and optionally comprising one or several cyclic keto groups; with the proviso that when R<sup>1</sup> and R<sup>2</sup> are both -CH<sub>2</sub>-OR<sup>5</sup> then R<sup>5</sup> is not H; and

with the further proviso that R<sup>1</sup> and R<sup>2</sup> are not both H when one of R<sup>1</sup> and R<sup>2</sup> is H and the other one is -CH<sub>2</sub>-NR<sup>4</sup>R<sup>5</sup>, then R<sup>4</sup> and R<sup>5</sup> are not substituted or non-substituted monocyclic aryl; or (ii) R<sup>1</sup> and R<sup>2</sup> together with the carbon atom to which they are bonded form an substituted or non-substituted cyclic carbonate;

wherein the substituents of the substituted groups are selected from unbranched or branched, saturated or unsaturated C3-C12 cycloalkyl or C1-C10 alkyl; halogen; mono- or bicyclic aryl; mono-, bi- or tricyclic C1-C10 heteroaryl and non-aromatic C1-C10 heterocyclyl wherein the heteroatoms are independently selected from N, O and S; C1-C10 alkyloxy; amino; C1-C10 alkylamino; COR<sup>6</sup>; CONR<sup>6</sup>R<sup>7</sup>; and COOR<sup>6</sup>;

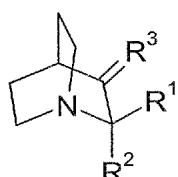
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$R^6$  and  $R^7$  are the same or different and are selected from H; unbranched or branched, saturated or unsaturated C3-C12 cycloalkyl or C1-C10 alkyl; benzyl; mono- or bicyclic aryl; mono-, bi- or tricyclic heteroaryl or non-aromatic C1-C10 heterocyclyl wherein the hetero-atoms are independently selected from N, O and S; as well as of pharmaceutically acceptable salts ~~or prodrugs~~ thereof,

for the treatment of a disorder selected from hyperproliferative diseases, ~~autoimmune diseases and heart diseases~~ by administering said compound in an effective amount for said disorder, to a patient in need thereof.

2. (Previously Presented) The method according to claim 1, wherein the disorder is a cancer.

3. (Currently Amended) A compound of formula (I)



(I)

wherein

(i)  $R^1$  and  $R^2$  are the same or different and are selected from H, -CH<sub>2</sub>OH, -CH<sub>2</sub>-O-CO-R<sup>5</sup>,

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-CH<sub>2</sub>-O-CO-NR<sup>4</sup>R<sup>5</sup> and -CH<sub>2</sub>-O-CO-OR<sup>5</sup>;

R<sup>3</sup> is =O, —S or —NR<sup>5</sup>, provided that at least one of R<sup>1</sup> and R<sup>2</sup> is selected from -CH<sub>2</sub>-O-CO-R<sup>5</sup>, -CH<sub>2</sub>-O-CO-NR<sup>4</sup>R<sup>5</sup> and -CH<sub>2</sub>-O-CO-OR<sup>5</sup>;

R<sup>4</sup> and R<sup>5</sup> are the same or different and are selected from H; substituted or non-substituted, unbranched or branched, saturated or unsaturated C3-C12 cycloalkyl or C1-C10 alkyl; substituted or non-substituted benzyl; substituted or non-substituted mono- or bicyclic aryl; substituted or non-substituted mono-, bi- or tricyclic C1-C10 heteroaryl or non-aromatic C1-C10 heterocyclyl wherein the heteroatoms are independently selected from N, O and S; or R<sup>4</sup> and R<sup>5</sup> in -CH<sub>2</sub>-NR<sup>4</sup>R<sup>5</sup> are bonded together and form, together with the nitrogen atom to which they are bonded, a substituted or non-substituted non-aromatic C1-C10 mono- or bicyclic heterocyclyl optionally containing one or several further heteroatoms independently selected from N, O and S and optionally comprising one or several cyclic keto groups; with the proviso that R<sup>1</sup> and R<sup>2</sup> are not both H; or

(ii) R<sup>1</sup> and R<sup>2</sup> together with the carbon atom to which they are bonded form a substituted or non-substituted cyclic carbonate; wherein the substituents of the substituted groups are selected from unbranched or branched, saturated or unsaturated C3-C12 cycloalkyl or C1-C10 alkyl; halogen; mono- or bicyclic aryl; mono-, bi- or tricyclic C1-C10 heteroaryl or non-

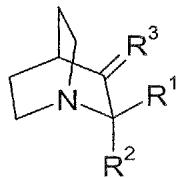
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aromatic C1-C10 heterocyclyl wherein the heteroatoms are independently selected from N, O and S; C1-C10 alkyloxy; amino; C1-C10 alkylamino; COR<sup>6</sup>; CONR<sup>6</sup>R<sup>7</sup>; and COOR<sup>6</sup>; R<sup>6</sup> and R<sup>7</sup> are the same or different and are selected from H; unbranched or branched, saturated or unsaturated C3-C12 cycloalkyl or C1-C10 alkyl; benzyl; mono- or bicyclic aryl; mono-, bi- or tricyclic heteroaryl or non-aromatic C1-C10 heterocyclyl wherein the hetero-atoms are independently selected from N, O and S; as well as pharmaceutically acceptable salts ~~or prodrugs~~ of the compounds of formula (I).

4. (Currently amended) A process for the preparation of a compound according to claim 3 by reacting a compound of formula (I)



(I)

wherein

R<sup>1</sup>, R<sup>2</sup> and R<sup>3</sup> are as defined in claim 3, provided that at least one of R<sup>1</sup> and R<sup>2</sup> is

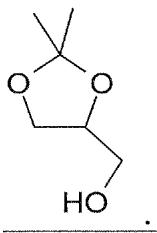
-CH<sub>2</sub>OH; or wherein both R<sup>1</sup> and R<sup>2</sup> are -CH<sub>2</sub>OH and R<sup>3</sup> is as defined in claim 3;

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with a compound of formula  $R^5\text{-CO-X}$ ,  $NR^4R^5\text{-CO-X}$ , or  $R^5O\text{-CO-X}$ ;  
wherein X is a leaving group; under conditions suitable for  
transforming at least one of  $R^1$  and  $R^2$  into  $-\text{CH}_2\text{-O-CO-R}^5$ ,  $-\text{CH}_2\text{-}$   
 $\text{O-CO-NR}^4R^5$  or  $-\text{CH}_2\text{-O-CO-OR}^5$  wherein  $R^4$  and  $R^5$  are as defined in  
claim 3;  
or by reacting a compound of said formula (I) wherein both  $R^1$   
and  $R^2$  are  $-\text{CH}_2\text{OH}$ ; with a compound of formula



5. (Previously Presented) A compound according to  
claim 3 for use as a medicament.

6. (Original) A pharmaceutical composition  
comprising a therapeutically effective amount of a compound  
according to claim 3, or a pharmaceutically acceptable salt or  
prodrug thereof, and at least one pharmaceutically acceptable  
excipient.

7. (Original) A pharmaceutical composition according  
to claim 6, comprising at least one further, pharmaceutically  
active compound.

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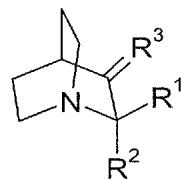
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8. (Cancelled).

9. (Currently Amended) A pharmaceutical composition according to claim 8 7, wherein the at least one further active compound *in vivo* is susceptible of reacting with glutathione.

10. (Currently Amended) A pharmaceutical composition according to ~~any one of claims 7-9~~, claim 7 or claim 9, wherein the further pharmaceutically active compound is selected from adriamycin, melphalan and cisplatin.

11. (Currently Amended) A method of treatment of a disease selected from hyperproliferative diseases, ~~autoimmune diseases, and heart diseases~~ by administration of a therapeutically effective amount of a compound of formula (I)



(I)

wherein

(i) R<sup>1</sup> and R<sup>2</sup> are the same or different and are selected from H, -CH<sub>2</sub>-O-R<sup>5</sup>, -CH<sub>2</sub>-O-SO<sub>2</sub>-R<sup>5</sup>,

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$-\text{CH}_2-\text{S}-\text{R}^5$ ,  $-\text{CH}_2-\text{NR}^4\text{R}^5$ ,  $-\text{CH}_2-\text{O}-\text{CO}-\text{R}^5$ ,  $-\text{CH}_2-\text{O}-\text{CO}-\text{NR}^4\text{R}^5$  and  $-\text{CH}_2-\text{O}-\text{CO-OR}^5$ ;

$\text{R}^3$  is  $=\text{O}$ ,  $=\text{S}$  or  $=\text{NR}^5$ ;

$\text{R}^4$  and  $\text{R}^5$  are the same or different and are selected from H; substituted or non-substituted, unbranched or branched, saturated or unsaturated C3-C12 cycloalkyl or C1-C10 alkyl; substituted or non-substituted benzyl; substituted or non-substituted mono- or bicyclic aryl; substituted or non-substituted mono-, bi- or tricyclic C1-C10 heteroaryl or non-aromatic C1-C10 heterocyclyl wherein the heteroatoms are independently selected from N, O and S; or

$\text{R}^4$  and  $\text{R}^5$  in  $-\text{CH}_2-\text{NR}^4\text{R}^5$  are bonded together and form, together with the nitrogen atom to which they are bonded, a substituted or non-substituted non-aromatic C1-C10 mono- or bicyclic heterocyclyl optionally containing one or several further heteroatoms independently selected from N, O and S and optionally comprising one or several cyclic keto groups; with the proviso that when  $\text{R}^1$  and  $\text{R}^2$  are both  $-\text{CH}_2-\text{OR}^5$  then  $\text{R}^5$  is not H; and

with the further proviso that when one of  $\text{R}^1$  and  $\text{R}^2$  is H and the other one is  $-\text{CH}_2-\text{NR}^4\text{R}^5$ , then  $\text{R}^4$  and  $\text{R}^5$  are not substituted or non-substituted monocyclic aryl; or

(ii)  $\text{R}^1$  and  $\text{R}^2$  together with the carbon atom to which they are bonded form a substituted or non-substituted cyclic carbonate;

wherein the substituents of the substituted groups are selected from unbranched or branched, saturated or unsaturated C3-C12 cycloalkyl or C1-C10 alkyl; halogen; mono- or bicyclic aryl; mono-, bi- or tricyclic C1-C10 heteroaryl or non-aromatic C1-C10 heterocyclyl wherein the heteroatoms are independently selected from N, O and S; C1-C10 alkyloxy; amino; C1-C10 alkylamino; COR<sup>6</sup>; CONR<sup>6</sup>R<sup>7</sup>; and COOR<sup>6</sup>; R<sup>6</sup> and R<sup>7</sup> are the same or different and are selected from H; unbranched or branched, saturated or unsaturated C3-C12 cycloalkyl or C1-C10 alkyl; benzyl; mono- or bicyclic aryl; mono-, bi- or tricyclic heteroaryl or non-aromatic C1-C10 heterocyclyl wherein the heteroatoms are independently selected from N, O and S; as well as of pharmaceutically acceptable salts or prodrugs thereof, to a patient in the need of such treatment.

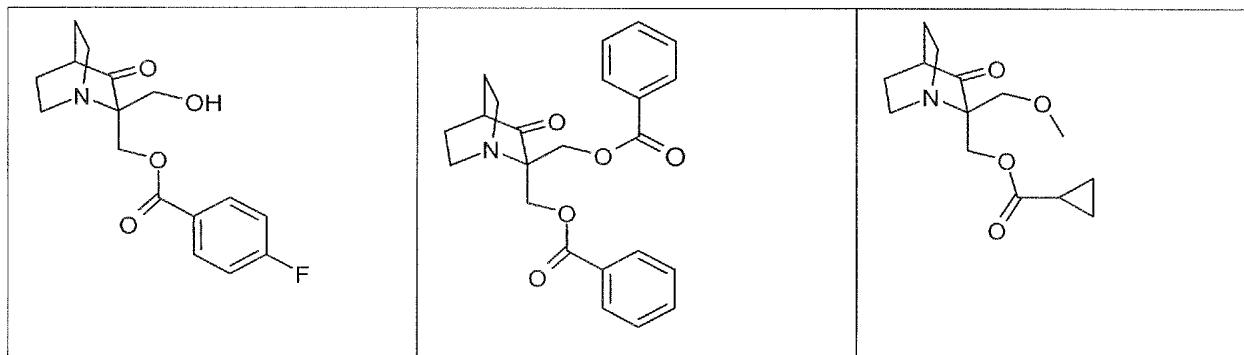
12. (Original) The method according to claim 11 wherein the compound of formula (I) is administered together with a further, pharmaceutically active compound.

13. (Cancelled).

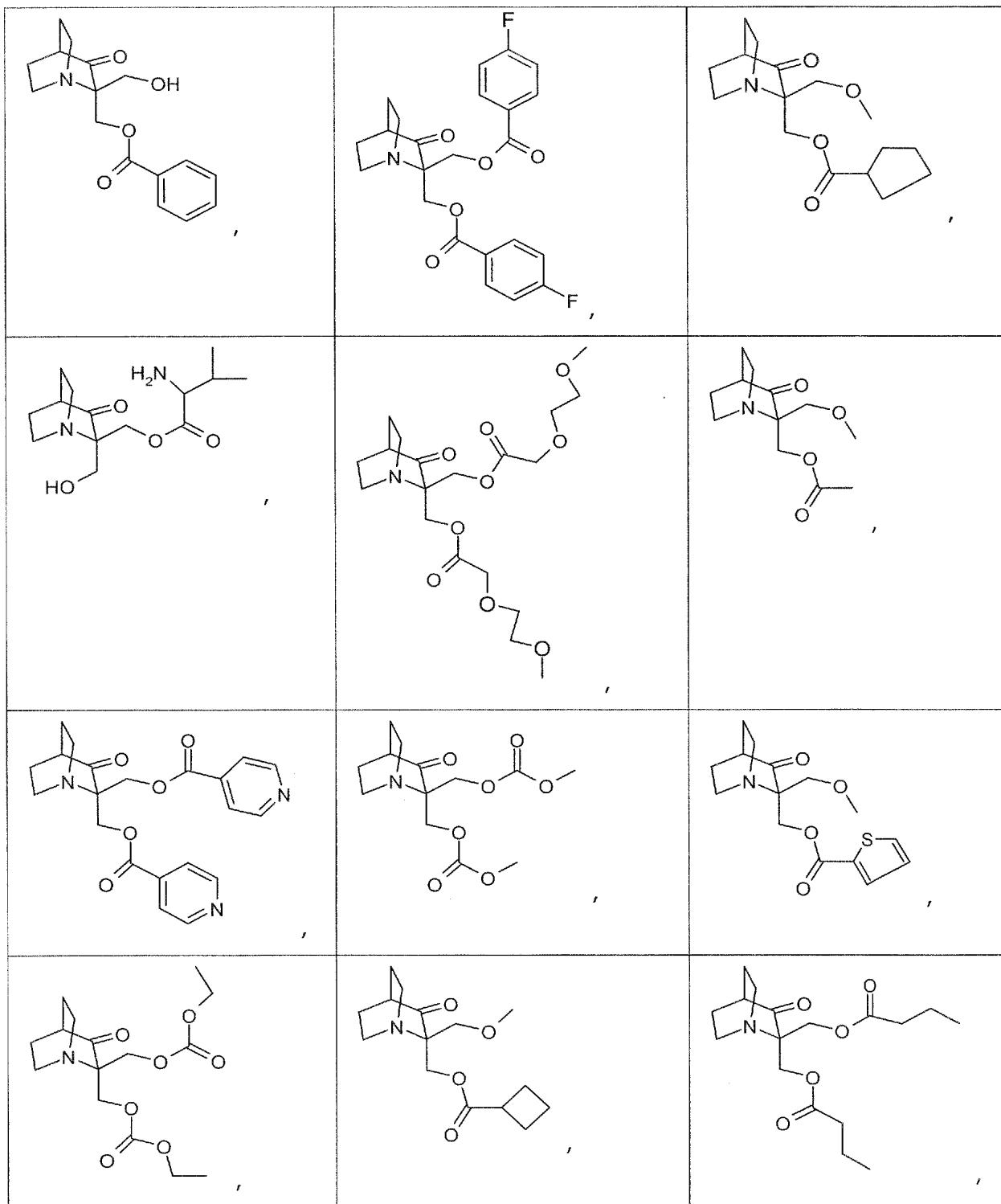
14. (Currently Amended) The method according to the claim ~~13~~ 12 wherein the further, pharmaceutically active compound *in vivo* is susceptible of reacting with glutathione.

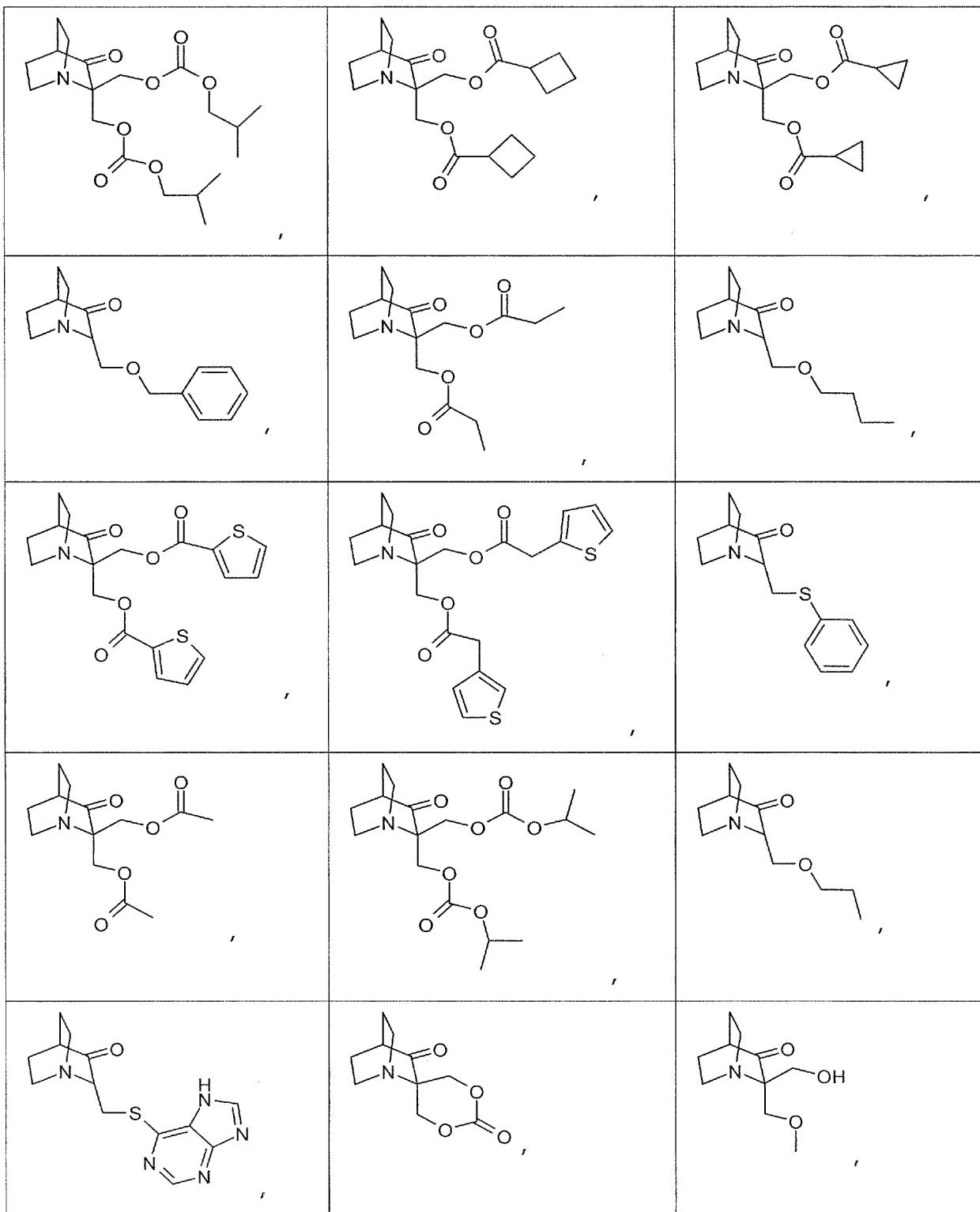
15. (Currently Amended) The method according to ~~any~~ one of the claims ~~12-14~~ claim 12 or claim 14, wherein the further pharmaceutically active compound is selected from adriamycin, melphalan, cisplatin.

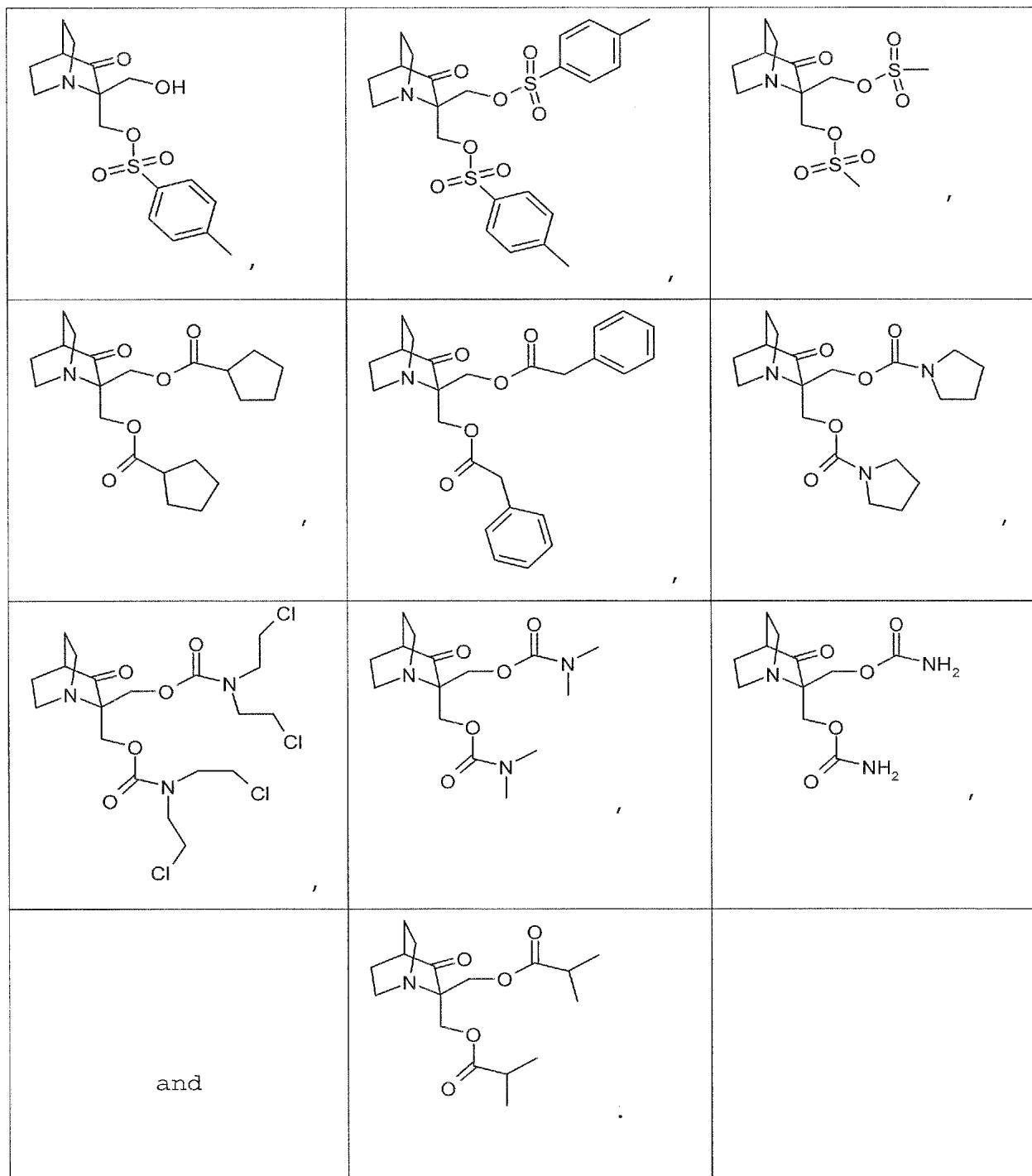
16. (New) A method of treating a mammal suffering from a hyperproliferative disease comprising administering to said mammal in need thereof a therapeutically effective amount of a compound selected from the group consisting of



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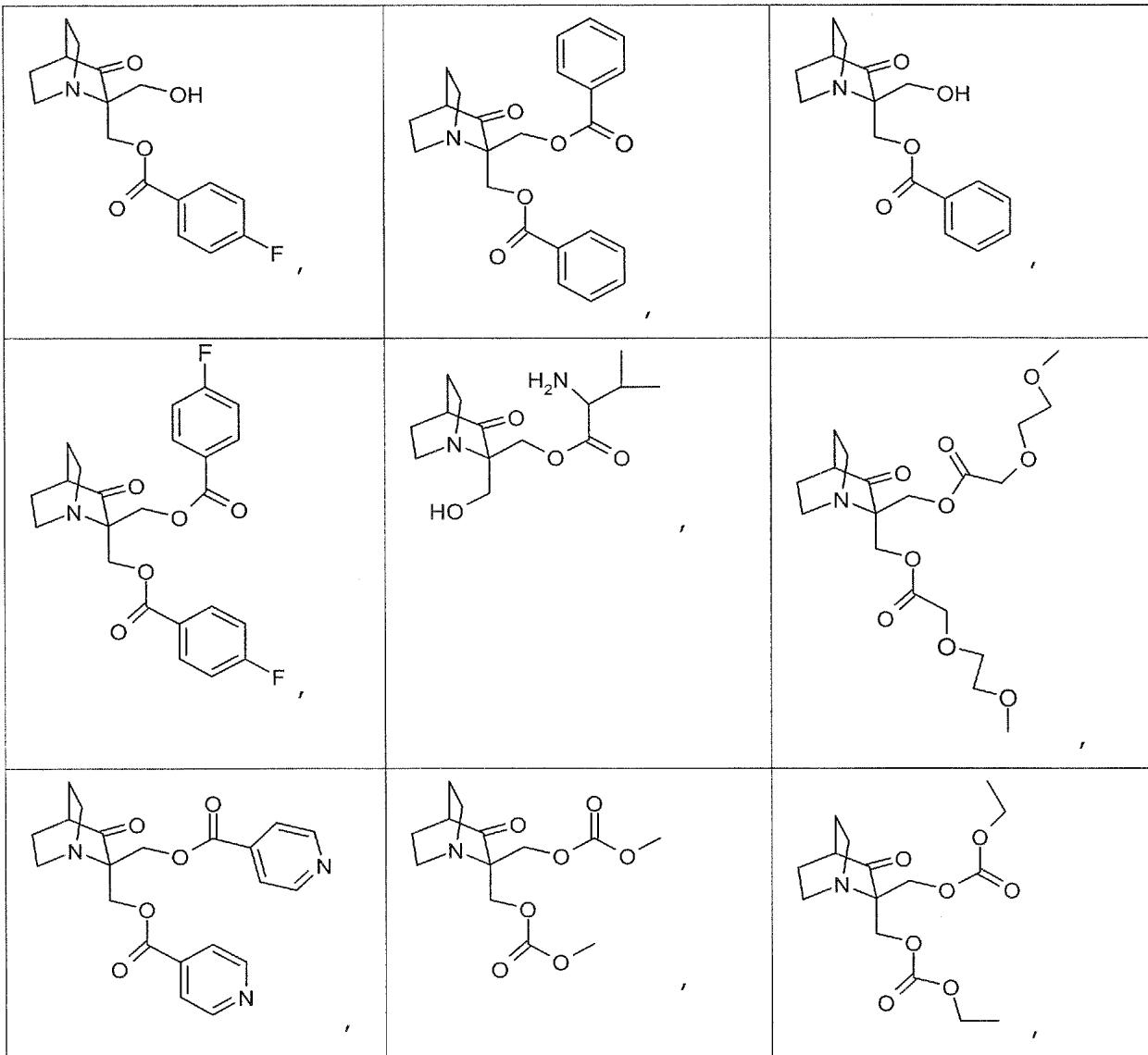


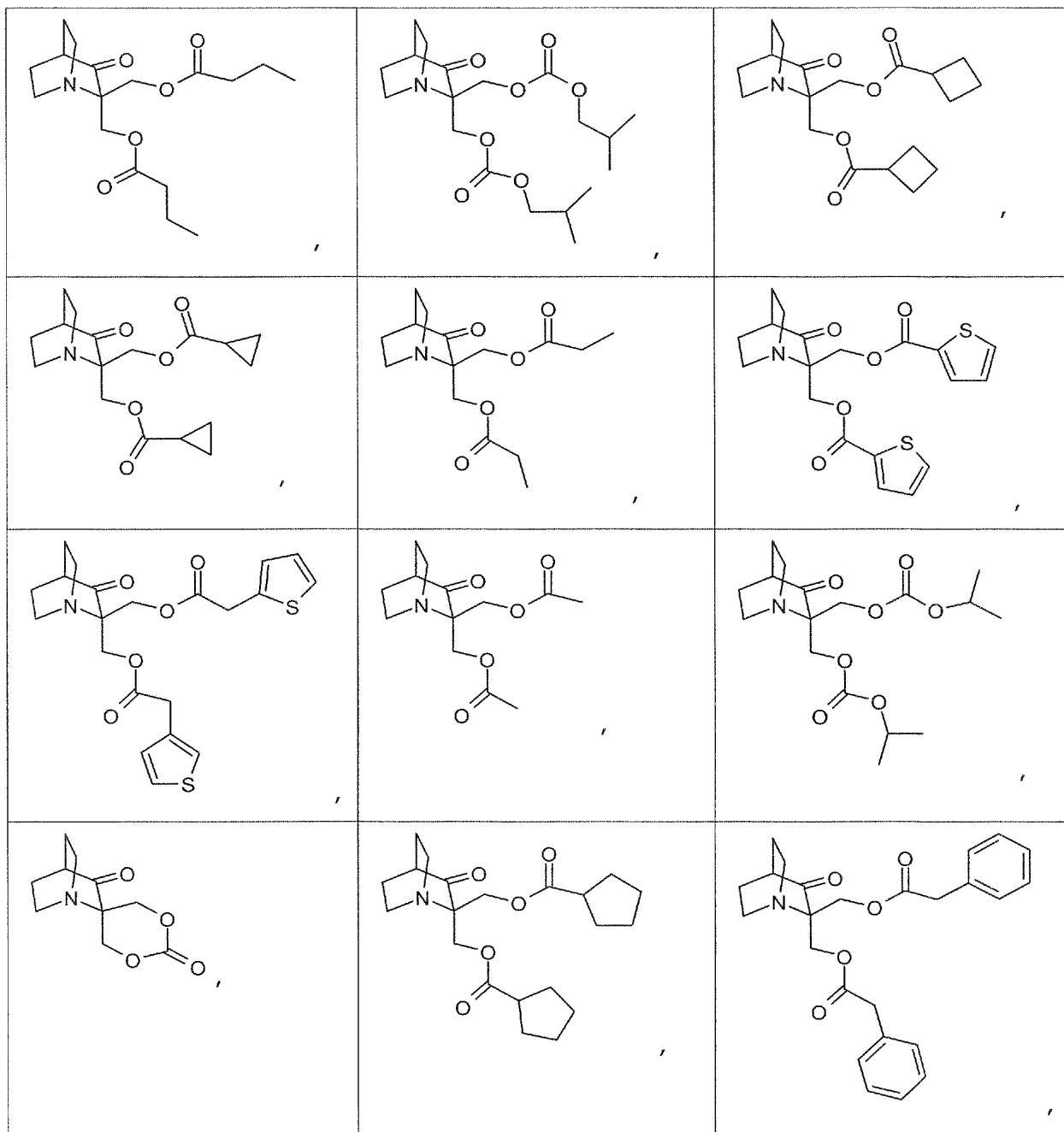


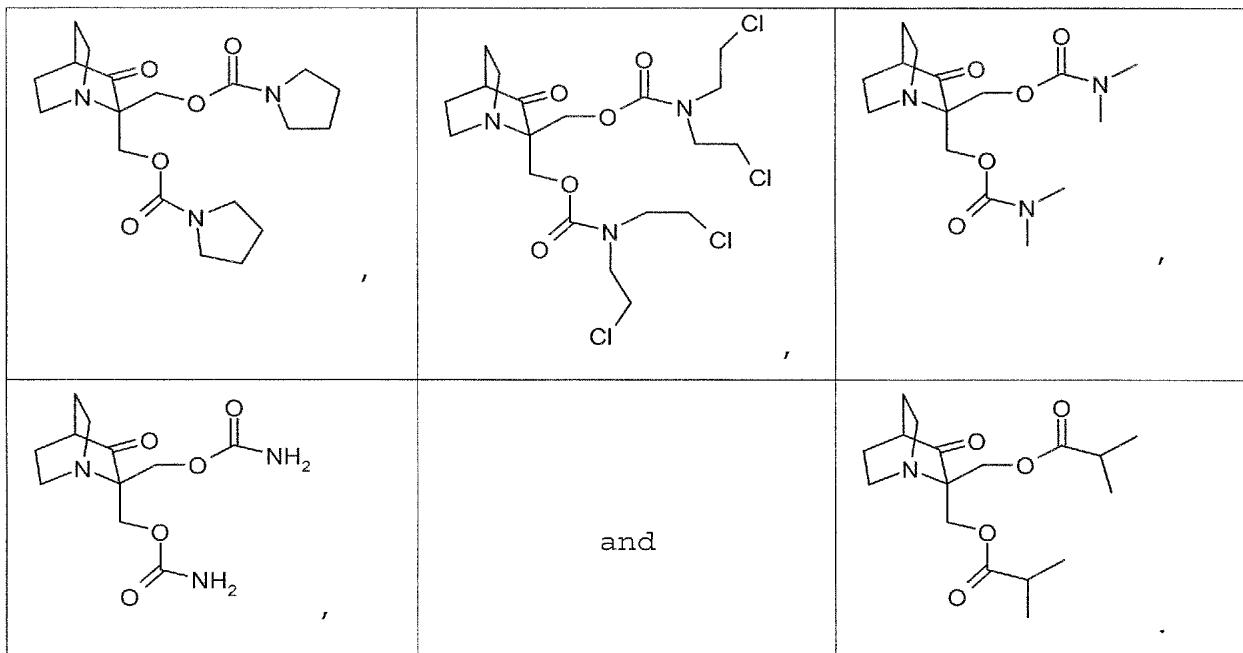


17. (New) The method according to claim 16, wherein  
the disorder is cancer.

18. (New) A compound selected from the group consisting of







19. (New) The process according to claim 4, wherein X is Cl.

20. (New) The compound according to claim 3, wherein R<sup>1</sup> and R<sup>2</sup> are the same or different and are both selected from the group consisting of -CH<sub>2</sub>-O-CO-R<sup>5</sup>, -CH<sub>2</sub>-O-CO-NR<sup>4</sup>R<sup>5</sup> and -CH<sub>2</sub>-O-CO-OR<sup>5</sup>.